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Docket No.: 4266-0139PUS1
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Jordi TORMO I BLASCO et al.

Application No.: 10/582,934

Confirmation No.: 8806

Filed: June 15, 2006

Art Unit: 1616

For: 6-(2-CHLORO-4-
ALKOXYPHENYL)TRIAZOLOPYRIMIDINES
, THEIR PREPARATION AND THEIR USE
FOR CONTROLLING HARMFUL FUNGI,
AND COMPOSITIONS COMPRISING THESE
COMPOUNDS

Examiner: Not Yet Assigned

L E T T E R

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

Subsequent to the filing of the above-identified application on June 15, 2006, attached hereto is an English Translation of the International Preliminary Report on Patentability issued by the International Bureau on behalf of the International Searching Authority. Please make this document of record for the above-identified application.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or to credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. § 1.16 or under 37 C.F.R. § 1.17; particularly, extension of time fees.

Dated: February 22, 2007

Respectfully submitted,

By _____
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Attachment

PATENT COOPERATION TREATY
PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 0000055194	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. PCT/EP2004/014274	International filing date (day/month/year) 15.12.2004	Priority date (day/month/year) 17.12.2003
International Patent Classification (IPC) or national classification and IPC C07D487/04 A01N43/90		
Applicant BASF AKTIENGESELLSCHAFT		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 13 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

a. (*sent to the applicant and to the International Bureau*) a total of 5 sheets, as follows:

sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

b. (*sent to the International Bureau only*) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

Box No. I Basis of the report

Box No. II Priority

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Box No. IV Lack of unity of invention

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

Box No. VI Certain documents cited

Box No. VII Certain defects in the international application

Box No. VIII Certain observations on the international application

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

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Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

This report is based on translations from the original language into the following language _____ which is the language of a translation furnished for the purposes of:

- international search (Rule 12.3 and 23.1(b))
- publication of the international application (Rule 12.4)
- international preliminary examination (Rule 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

the international application as originally filed/furnished
 the description:
 pages 1-42 as originally filed/furnished
 pages* _____ received by this Authority on _____
 pages* _____ received by this Authority on _____
 the claims:
 nos. _____ as originally filed/furnished
 nos.* _____ as amended (together with any statement) under Article 19
 nos.* 1-12 received by this Authority on 07.12.2005 with letter of 06.12.2005
 nos.* _____ received by this Authority on _____
 the drawings:
 sheets _____ as originally filed/furnished
 sheets* _____ received by this Authority on _____
 sheets* _____ received by this Authority on _____
 a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. The amendments have resulted in the cancellation of:

- the description, pages _____
- the claims, nos. _____
- the drawings, sheets/figs _____
- the sequence listing (*specify*): _____
- any table(s) related to sequence listing (*specify*): _____

4. This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- the description, pages _____
- the claims, nos. _____
- the drawings, sheets/figs _____
- the sequence listing (*specify*): _____
- any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

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Box No. IV Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees the applicant has:
 - restricted the claims.
 - paid additional fees.
 - paid additional fees under protest.
 - neither restricted the claims nor paid additional fees.
2. This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.
3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is:
 - complied with.
 - not complied with for the following reasons:
4. Consequently, this report has been established in respect of the following parts of the international application:
 - all parts.
 - the parts relating to claims Nos. _____

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Box No. V	<u>Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability: citations and explanations supporting such statement</u>																									
<p>1. Statement</p> <table> <tr> <td>Novelty (N)</td> <td>Claims</td> <td>8, 11</td> <td>YES</td> </tr> <tr> <td></td> <td>Claims</td> <td>1-7, 9-10, 12</td> <td>NO</td> </tr> <tr> <td>Inventive step (IS)</td> <td>Claims</td> <td></td> <td>YES</td> </tr> <tr> <td></td> <td>Claims</td> <td>1-12</td> <td>NO</td> </tr> <tr> <td>Industrial applicability (IA)</td> <td>Claims</td> <td>1-12</td> <td>YES</td> </tr> <tr> <td></td> <td>Claims</td> <td></td> <td>NO</td> </tr> </table>			Novelty (N)	Claims	8, 11	YES		Claims	1-7, 9-10, 12	NO	Inventive step (IS)	Claims		YES		Claims	1-12	NO	Industrial applicability (IA)	Claims	1-12	YES		Claims		NO
Novelty (N)	Claims	8, 11	YES																							
	Claims	1-7, 9-10, 12	NO																							
Inventive step (IS)	Claims		YES																							
	Claims	1-12	NO																							
Industrial applicability (IA)	Claims	1-12	YES																							
	Claims		NO																							
<p>2. Citations and explanations (Rule 70.7)</p> <p>1 Reference is made to the following documents:</p> <p>D1: WO 03/091254 A, 6 November 2003 D2: WO 99/48893 A, 30 September 1999; cited in the application D3: WO 02/38565 A, 16 May 2002 D4: US-B1-6 204 269, 20 March 2001 D5: WO 02/083677 A, 24 October 2002 D6: WO 03/008417 A, 30 January 2003.</p> <p>2 Novelty</p> <p>2.1 Claims 1-7, 9-10 and 12 lack novelty in the light of D1 and/or D2.</p> <p>2.2 D1 concerns triazolopyrimidines for combating unwanted micro-organisms such as fungi in the field of plant protection (see, e.g., pages 13-17, and page 30, lines 13-21), and a method for the production thereof. The compounds from D1 overlap with the current compounds (I) and (I.2) in claims 1 and 5 when R¹ and R² in formula (I) and D in formula (I.2) form, together with the nitrogen</p>																										

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

atom, a five- or six-membered heterocycyl or heteroaryl, which contains one to three additional heteroatoms from the group O, N and S, and when the group X is halogen and chlorine, respectively. In addition, **D1** already discloses specific compounds (I)/(I.2) in the overlapping range (pages 35 and 36, examples 4 and 19) and, as a result of the production method (claim 2, and page 34, last paragraph), the corresponding 5,7-dihalogen intermediates of the current formula (V). Claims 1-3, 5, 9, 10 and 12 therefore lack novelty with respect to the entire range of overlap with **D1**.

D2 describes plant fungicides, the production thereof, the corresponding fungicidal agents (claim 10) and a method for combating phytopathogenic fungi (claim 11; page 12, lines 20-22; page 17, lines 10 and 11). The compounds from **D2** are 5-halogen-6-phenyl-triazolopyrimidines which are OR'-substituted in the phenyl-4'-position and in the phenyl-2'-position bear a fluorine or chlorine atom (L²) and in the phenyl-6'-position bear a hydrogen, fluorine or chlorine atom (L¹) (see claim 1). These overlap substantially with the current compounds (I) to (I.3) when L (corresponding to L¹ in **D2**) is hydrogen or chlorine and X is halogen and/or chlorine. The compounds from **D2** are produced as per the method according to the current claim 7 via the 5,7-dihydroxy- and 5,7-dihalogen-intermediates (IV) and (V) (**D2**, pages 10

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<p>and 11, intermediates II and VII). Claims 1-7, 9-10 and 12 of the application therefore lack novelty with respect to the entire range of overlap with D2. In this regard it should be noted that the teaching of D2 is not restricted to merely the 2'-fluorine-substituted examples, but also covers the corresponding 2'-chlorine-substituted compounds. In addition, the range of the current claims which overlaps with D2 does not satisfy the criteria for a novel selection, since the selected range is based merely on the one-dimensional selection of chlorine from the list L' of D2, which comprises two values, the selected range being neither narrow in relation to D2 nor sufficiently removed from the range illustrated by the examples in D2.</p> <p>The statements relating to D1 and D2 made by the applicant in the letter of response are therefore untenable.</p> <p>D3 discloses triazolopyrimidines which already partly cover the current compounds (I) and (I.1). D3 does not, however, specifically disclose compounds that bear a chlorine atom in the phenyl-2' position, an OR' group in the phenyl-4' position and a hydrogen, chlorine or bromium atom in the 6' position. The subject matter of the application can therefore be considered a novel selection from the teaching of D3, with the specific substitution pattern on the phenyl ring being the novel technical feature.</p>	

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D4 concerns similar triazolopyrimidines which already partly cover the current compounds (I) and (I.3). Like **D3**, **D4** also does not show any compounds with the specific substitution pattern of the current claims on the phenyl ring, and therefore the subject matter of the application can be considered a novel selection from the teaching of **D4**.

D5 and **D6** disclose further fungicidal triazolopyrimidines which in the 5 position may be substituted, respectively, with cyano, alkoxy and haloalkoxy (**D5**) and with halogen, cyano, alkyl, alkoxy and haloalkoxy (**D6**). The current compounds differ, however, therefrom by virtue of the phenyl substituents. **D5** and **D6** are therefore not relevant to the question of novelty.

3 Inventive step and unity of invention

Insofar as the application concerns novel compounds (I) as shown in the application examples, the following observations apply in respect of inventive step.

3.1 The application describes the production of a small number of compounds (I) which bear a chlorine substituent in the 5(X) position and always a hydrogen atom in the phenyl-6' (L) position (page 41 of the application). Such compounds (I) are effective against certain

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<p>pathogenic fungi (pages 39-42 of the application) and, according to additional information provided by the applicant, appear to have a stronger fungicidal effect than the compounds from D2 with an (L¹)fluorine-substituent, which corresponds to the current (L)hydrogen atom.</p> <p>3.2 The (L)hydrogen compounds (I) shown in the application examples can be considered a novel selection from the compounds as per D2. Proceeding from D2 as the closest prior art, the application, in respect of the examples therein, is considered to address the technical problem of selecting certain plant-fungicidal triazolopyrimidines from D2. Since a stronger fungicidal effect in the current (L)hydrogen compounds (I) in relation to the (L¹)fluorine compounds from D2 does not appear obvious in the light of the cited documents, such compounds could be considered to involve an inventive step.</p> <p>3.3 However, such a surprising effect must apply to essentially all the claimed compounds in order to justify acknowledgement of an inventive step for the entire scope claimed. Currently, however, it is not plausible that the same surprising effect results from the use of the (L)chlorine and (L)bromium compounds (I) in relation to the (L¹)fluorine compounds from D2.</p> <p>3.4 In addition, it should be noted with respect to the current set of claims that the claimed</p>	

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<p>compounds must be characterised in relation to the prior art compounds by a common distinguishing feature in order to satisfy the requirement for unity of invention. No such common distinguishing feature, however, can currently be found. The application therefore fails to meet the requirement for unity of invention. Thus, in the light of documents D1 to D3, the current set of claims can be divided into different groups of invention. Nevertheless, given the lack of novelty in the set of claims, it does not seem necessary to make a detailed division at this point. Provisionally, however, it is pointed out that in light of D2, compounds (I) in which X = halogen and L = hydrogen; compounds (I) in which X = halogen and L = chlorine; and compounds (I) in which X = halogen and L = bromium belong to three different inventions, it being possible, in light of D1, to divide the second group of compounds (I), in which X = halogen and L = chlorine, into additional groups.</p> <p>Surprisingly, the applicant did not take up any position in the correspondence with respect to unity of invention. It is assumed that they would like to return to this point in the regional phase.</p>	

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Box No. VII Certain defects in the international application

The following defects in the form or contents of the international application have been noted:

The description does not indicate documents **D1** and **D3** to **D6** or specify the prior art disclosed therein (PCT Rule 5.1(a)(ii)). In addition, the passage relating to **D2** on page 3 of the description is inappropriate and misleading, since the compounds disclosed in **D2** do not have to be substituted by at least one fluorine atom in the ortho position of the phenyl ring.

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Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claims 1 and 4-6 are drafted as separate independent claims, although the compounds as per claims 4-6 appear to be covered by the scope of claim 1. The current set of claims therefore appears not to meet the criterion for conciseness required by PCT Article 6.

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Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of: Boxes I and IV

Box I**Basis of the report**

The applicant submitted an amended set of claims with the letter of 6 December 2005, in which the meaning of halogenalkyl for R³ in claim 1 was deleted. The amended set of claims satisfies PCT Articles 19(2) and 34(2)(b). In addition, the applicant referred in the letter to further amendments which, however, do not appear in the clean copy of the amended set of claims. Those possibly intended amendments are not taken into consideration in this report.

The application relates to:

- (i) 6-(2-cloro-4-alkoxyphenyl)triazolopyrimidines of formula (I) (claims 1-3);
- (ii) 6-(2-cloro-4-alkoxyphenyl)triazolopyrimidines of formula (I.1) (claim 4);
- (iii) 6-(2-cloro-4-alkoxyphenyl)triazolopyrimidines of formula (I.2) (claim 5);
- (iv) 6-(2-cloro-4-alkoxyphenyl)triazolopyrimidines of formula (I.3) (claim 6);
- (v) method for producing compounds (I) (claims 7 and 8);
- (vi) intermediates of formulae (IV), (IVa), (V) and (Va) (claim 9);
- (vii) fungicidal agents that contain compounds (I)

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Supplemental Box

(claim 10);
(viii) seeds that contain compounds (I) (claim 11);
and
(ix) method for combating phytopathogenic fungi
using compounds (I) (claim 12).

Box IV

Lack of unity of invention

See Box V.2, item 3.4.